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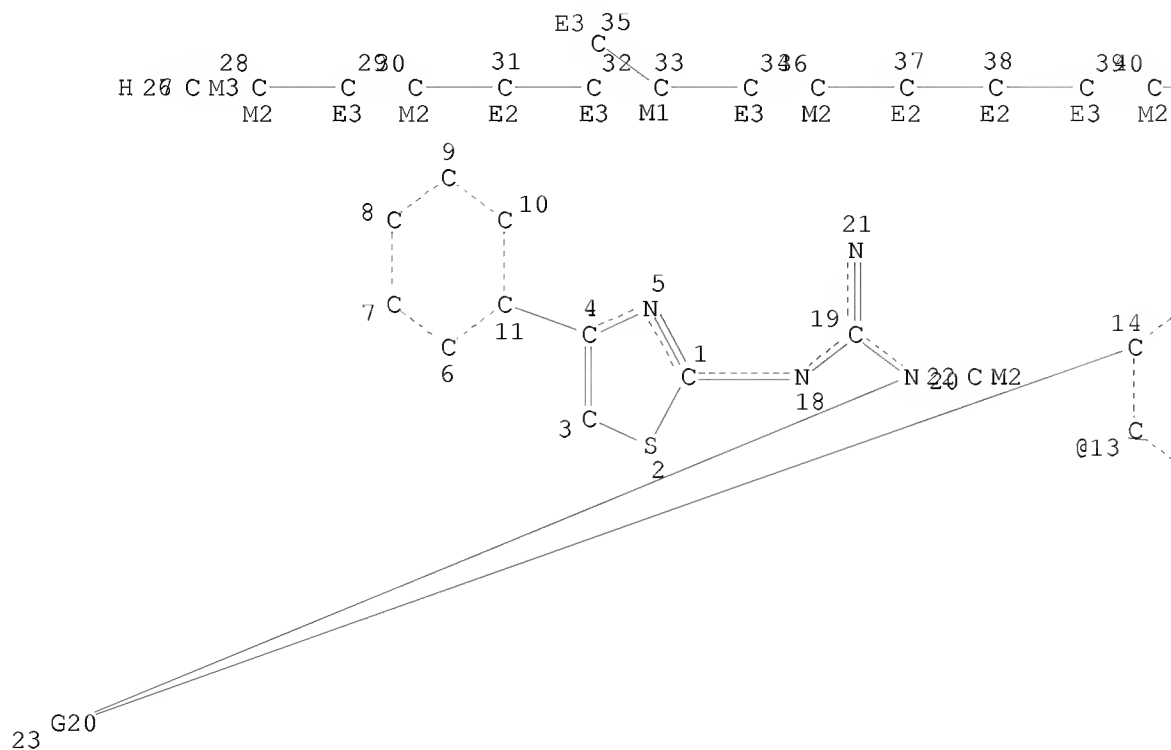
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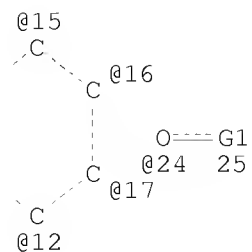
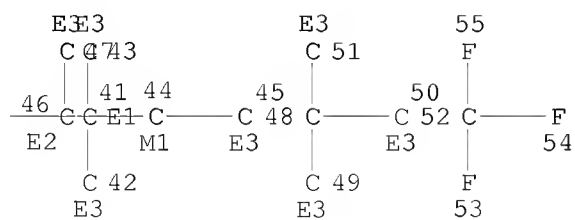
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=> d que l3 stat

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Page 1-A



Page 1-B

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REP G20=(1-4) 22-20 22-14

VPA 24-12/13/15/16/17 S

NODE ATTRIBUTES:

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GRAPH ATTRIBUTES:

RSPEC I  
NUMBER OF NODES IS 55

STEREO ATTRIBUTES: NONE  
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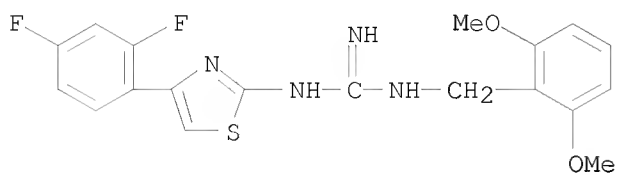
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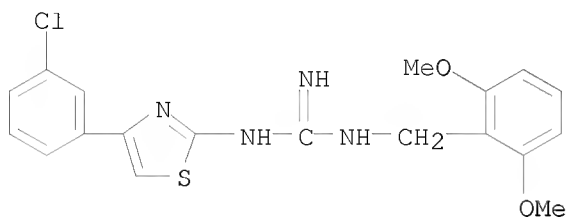
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ED Entered STN: 22 Sep 2005  
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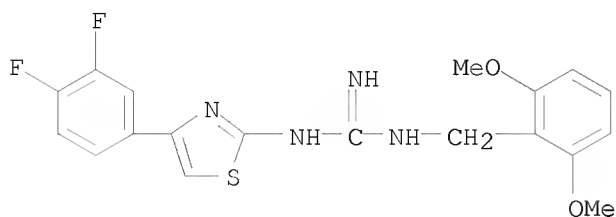
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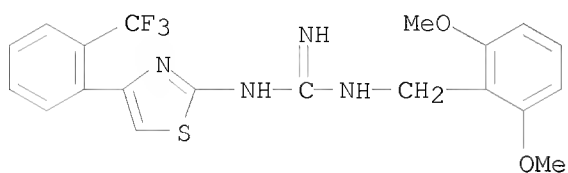
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CI COM  
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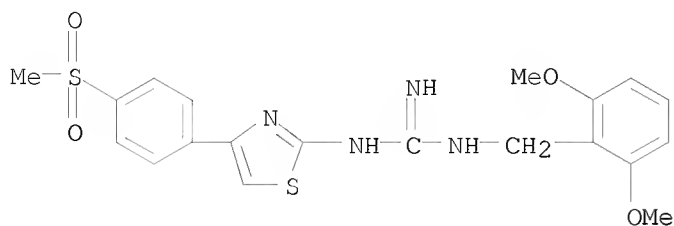
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ED Entered STN: 22 Sep 2005  
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CI COM  
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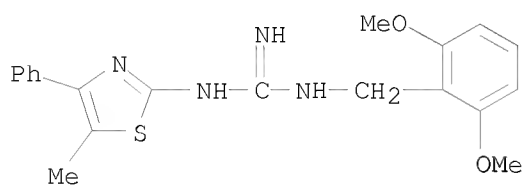
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RN 863707-17-9 REGISTRY  
ED Entered STN: 22 Sep 2005  
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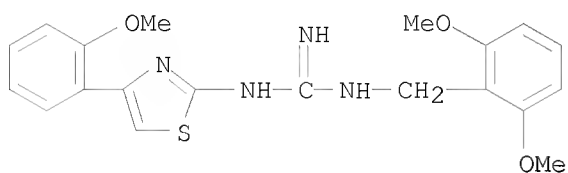


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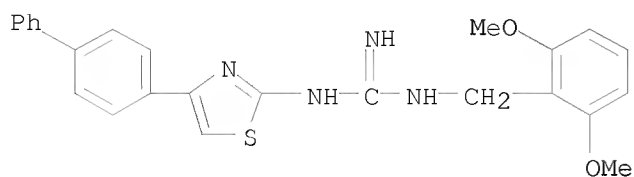
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ED Entered STN: 22 Sep 2005  
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CI COM  
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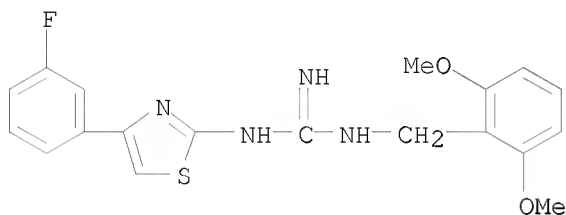
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CI COM  
SR CA



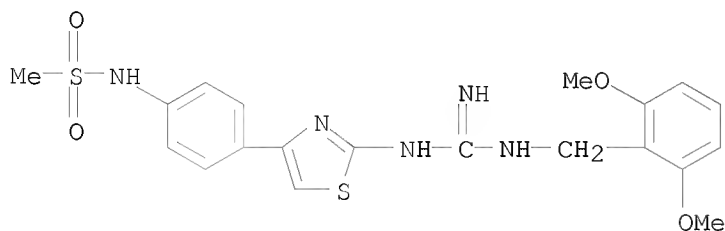
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CI COM  
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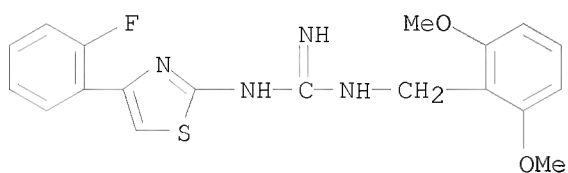
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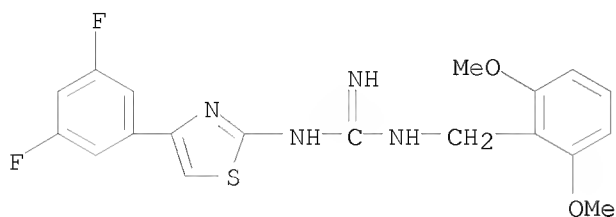
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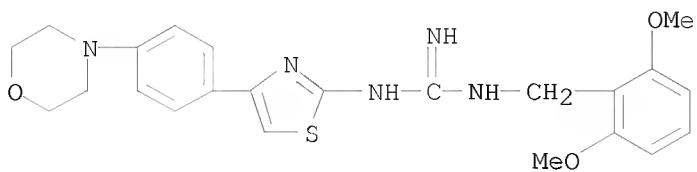
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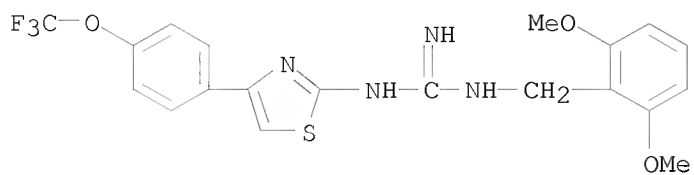
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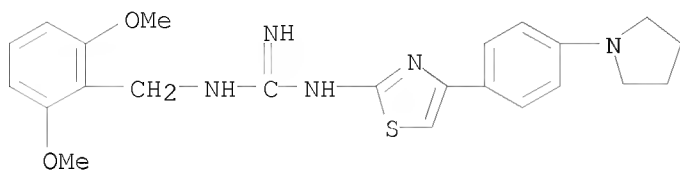


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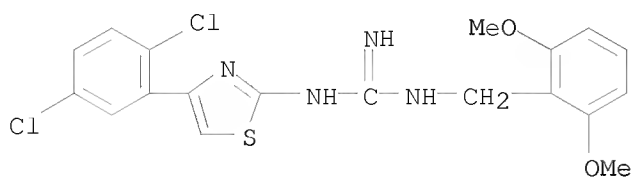
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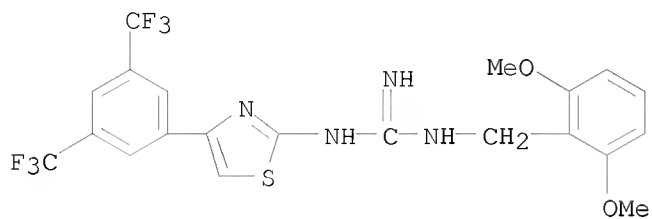
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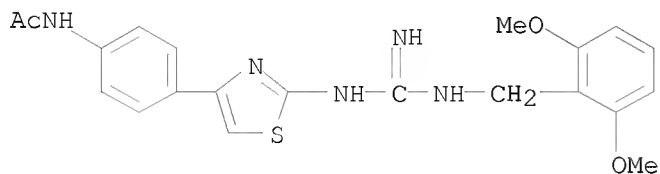
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ED Entered STN: 22 Sep 2005  
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SR CA



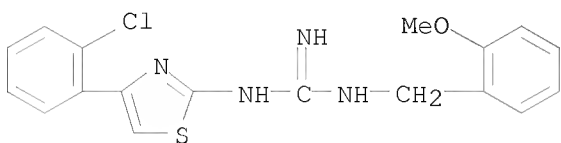
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ED Entered STN: 22 Sep 2005  
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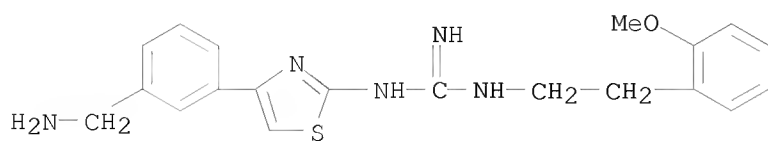
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FILE COVERS 1907 - 17 Sep 2011 VOL 155 ISS 13  
FILE LAST UPDATED: 16 Sep 2011 (20110916/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2011  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2011

CAPLUS now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2011.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

'FIONA' IS DEFAULT FORMAT FOR 'CAPLUS' FILE

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L6 4 L3  
  
=> d 1-4 ibib iabs hitstr



L6 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2011 ACS on STN  
ACCESSION NUMBER: 2005:959678 CAPLUS  
DOCUMENT NUMBER: 143:266930  
TITLE: Guanidine compounds and their use as ligands for 5HT  
receptors  
INVENTOR(S): Netz, Astrid; Amberg, Wilhelm; Lange, Udo; Ochse,  
Michael; Kling, Andreas; Hutchins, Charles W.;  
Garcia-Ladona, Francisco-Xavier; Wernet, Wolfgang  
PATENT ASSIGNEE(S): Abbott GmbH & Co. Kg,  
Germany  
SOURCE: Ger. Offen., 52 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102004008141	A1	20050901	DE 2004-102004008141	20040219
WO 2005082871	A2	20050909	WO 2005-EP1521	20050215
WO 2005082871	A3	20051110		
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1716127	A2	20061102	EP 2005-707406	20050215
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MX 2006009434	A	20070321	MX 2006-9434	20060818
US 20070299074	A1	20071227	US 2007-590265	20070614
PRIORITY APPLN. INFO.:			DE 2004-102004008141A	20040219
			WO 2005-EP1521	W 20050215

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 143:266930

GRAPHIC IMAGE:

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

#### ABSTRACT:

The present invention concerns guanidine compds., e.g., I [R1, R2, R3 = H, OH, CN, (un)substituted C1-6-alkyl, C1-4-alkoxy, C2-6-alkenyl, C3-12-alkynyl, CO-(C1-6-alkyl), CO2-(C1-6-alkyl), etc.; R4, R5 = H, halogen, CN, CF3, CHF2, C1-10-alkyl, Ph, naphthyl, heteroaryl, etc.; R4R5 = (un)substituted 4- to 7-membered ring, optionally containing addnl. O, S, N; Q = Q1, Q2, Q3, Q4, Q5, Q6; W = W1, W2; Z = (CRz1Rz2)a(V)b(CRz3Rz4)c; A, D = NO2, NH2, OH, CN, CF3, OCF3, CHF2, OCHF2, CO2H, OCH2CO2H, halogen, SH, etc.; B = H, A; R' = H, OH, halogen, NO2, NH2, CN, CF3, CHF2, OCF3, OCHF2, (un)substituted C1-6-alkyl,

C3-7-cycloalkyl, (C1-6-alkene)-O-(C1-6-alkyl), C2-6-alkenyl, C3-12-alkynyl, CO-(C1-6-alkyl), CO2-(C1-6-alkyl), SO2-(C1-6-alkyl), etc.; a = 0 - 4; b = 0, 1; c = 0 - 4; Rz1, Rz2, Rz3, Rz4 = H, halogen, OH, etc.; E = O, NRq1, S; V = CO, CONR, NRCO, O, S, SO, SO2, SO2NR, NRSO2, CS, CSNR, NRCS, etc.; Rq1 = H, C1-4-alkyl, CO-(C1-4-alkyl), SO2-(C1-4-alkyl), CO2-(C1-4-alkyl), etc.], their enantiomers, diastereomers and/or tautomeric forms as well as pharmaceutical acceptable salts thereof. Thus, N-(2-methoxybenzyl)-N'-(11,3-thiazol-2-ly)guanidine (II) was prepared from 2-aminothiazole via reaction with thiocarbonyldiimidazole in MeCN, ammonolysis with NH4OAc in EtOH, N-methylation in MeOH and amidation with 2-MeOC6H4CH2NH2 in EtOH. Further the present compound concerns the use of guanidine compds. as ligands for 5HT receptors for the treatment of diseases, which are modulated by a 5HT receptor activity, in particular for the treatment of neurodegenerative and neuropsychiatric disturbances as well as the signs, symptoms and malfunctions which are connected with it. The pharmacol. activity off II was determined [Ki = 50 nM].

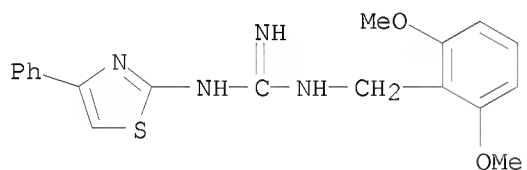
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	<u>863657-16-3P</u>	<u>863657-17-4P</u>	<u>863657-18-5P</u>
	<u>863657-19-6P</u>	<u>863657-20-9P</u>	<u>863657-21-0P</u>
	<u>863657-24-3P</u>	<u>863657-26-5P</u>	<u>863657-27-6P</u>
	<u>863657-30-1P</u>	<u>863657-31-2P</u>	<u>863657-32-3P</u>
	<u>863657-37-8P</u>	<u>863657-39-0P</u>	<u>863657-40-3P</u>
	<u>863657-42-5P</u>	<u>863657-45-8P</u>	<u>863657-47-0P</u>
	<u>863657-49-2P</u>	<u>863657-55-0P</u>	<u>863657-58-3P</u>
	<u>863657-59-4P</u>	<u>863657-60-7P</u>	<u>863657-63-0P</u>
	<u>863657-64-1P</u>		

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(guanidine derivs. and their use as ligands for 5HT receptors)

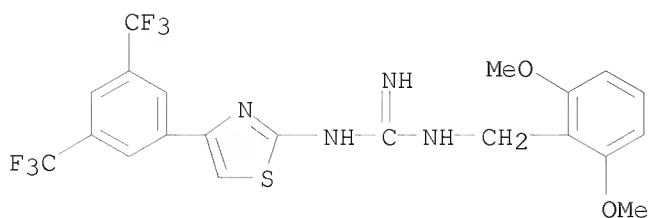
RN 863656-41-1 CAPLUS

CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-(4-phenyl-2-thiazolyl)- (CA INDEX NAME)



RN 863656-59-1 CAPLUS

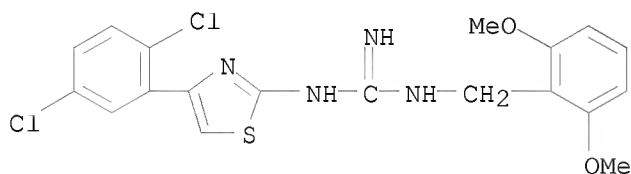
CN Guanidine, N-[4-[3,5-bis(trifluoromethyl)phenyl]-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

RN 863656-61-5 CAPLUS

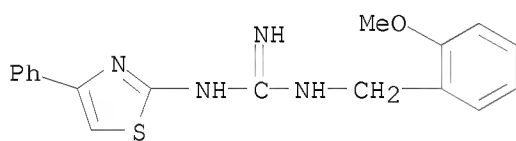
CN Guanidine, N-[4-(2,5-dichlorophenyl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

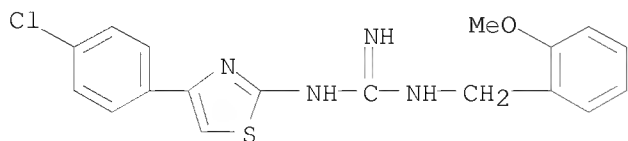
RN 863656-79-5 CAPLUS

CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-(4-phenyl-2-thiazolyl)- (CA INDEX NAME)



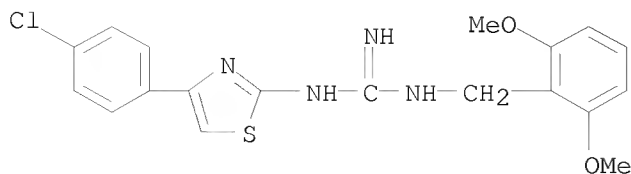
RN 863656-83-1 CAPLUS

CN Guanidine, N-[4-(4-chlorophenyl)-2-thiazolyl]-N'-[(2-methoxyphenyl)methyl]- (CA INDEX NAME)



RN 863656-87-5 CAPLUS

CN Guanidine, N-[4-(4-chlorophenyl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]- (CA INDEX NAME)



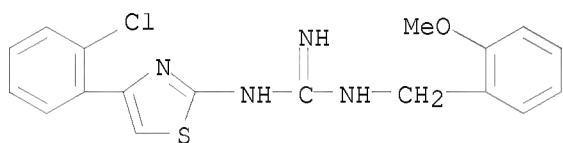
RN 863656-95-5 CAPLUS

CN Guanidine, N-[4-(2-chlorophenyl)-2-thiazolyl]-N'-[(2-methoxyphenyl)methyl]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 863656-94-4

CMF C18 H17 Cl N4 O S

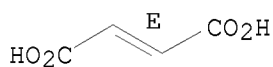


CM 2

CRN 110-17-8

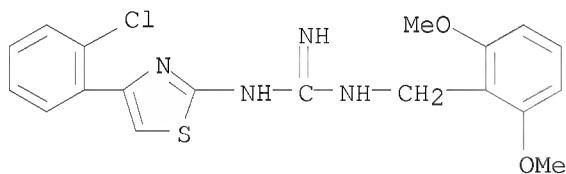
CMF C4 H4 O4

Double bond geometry as shown.



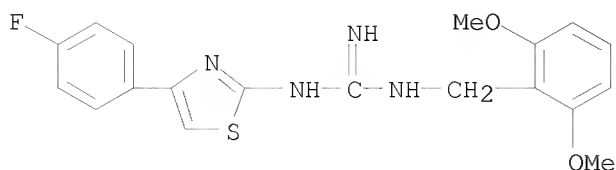
RN 863656-96-6 CAPLUS

CN Guanidine, N-[4-(2-chlorophenyl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]- (CA INDEX NAME)



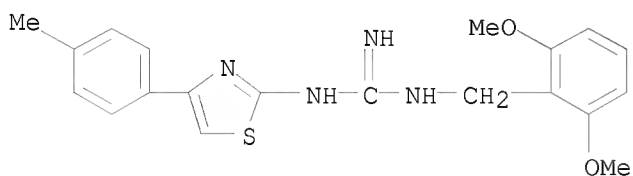
RN 863657-12-9 CAPLUS

CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(4-fluorophenyl)-2-thiazolyl]- (9CI) (CA INDEX NAME)



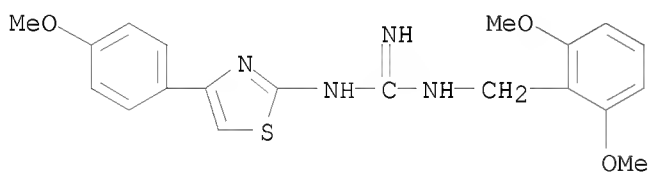
RN 863657-13-0 CAPLUS

CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(4-methylphenyl)-2-thiazolyl]- (CA INDEX NAME)



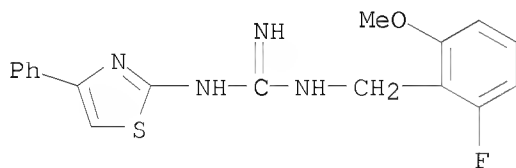
RN 863657-14-1 CAPLUS

CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(4-methoxyphenyl)-2-thiazolyl]- (CA INDEX NAME)



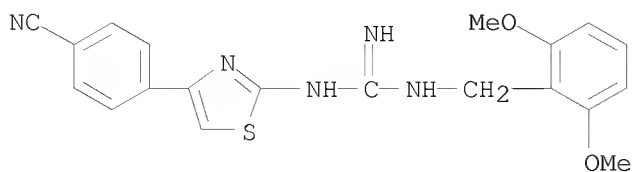
RN 863657-15-2 CAPLUS

CN Guanidine, N-[(2-fluoro-6-methoxyphenyl)methyl]-N'-(4-phenyl-2-thiazolyl)- (CA INDEX NAME)



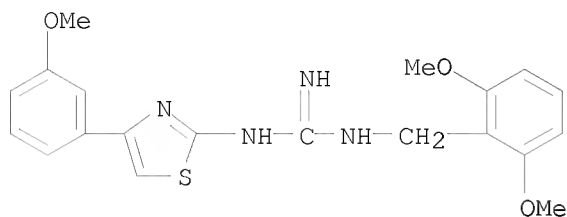
RN 863657-16-3 CAPLUS

CN Guanidine, N-[4-(4-cyanophenyl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]- (CA INDEX NAME)



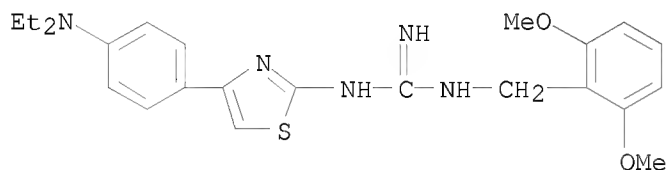
RN 863657-17-4 CAPLUS

CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(3-methoxyphenyl)-2-thiazolyl]- (CA INDEX NAME)



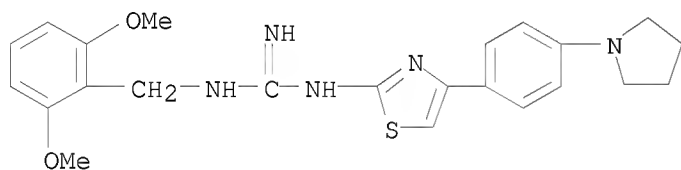
RN 863657-18-5 CAPLUS

CN Guanidine, N-[4-[4-(diethylamino)phenyl]-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]- (CA INDEX NAME)



RN 863657-19-6 CAPLUS

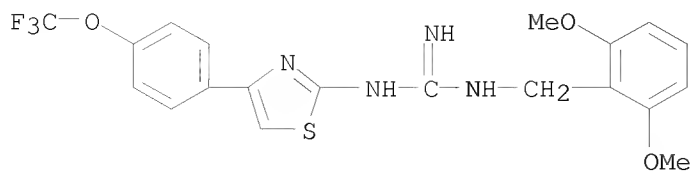
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-[4-(1-pyrrolidinyl)phenyl]-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 863657-20-9 CAPLUS

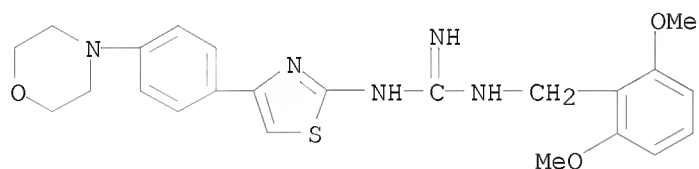
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-[4-(trifluoromethoxy)phenyl]-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 863657-21-0 CAPLUS

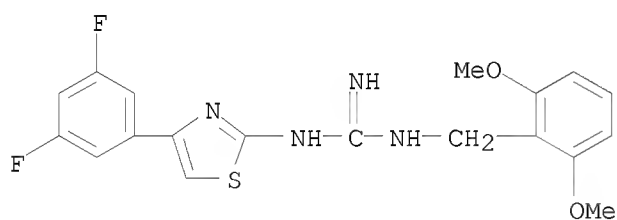
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-[4-(4-morpholinyl)phenyl]-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 863657-24-3 CAPLUS

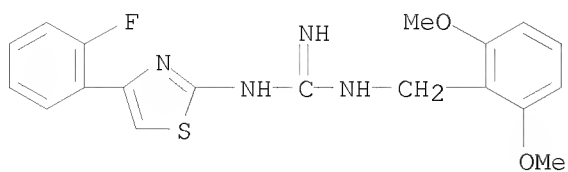
CN Guanidine, N-[4-(3,5-difluorophenyl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

RN 863657-26-5 CAPLUS

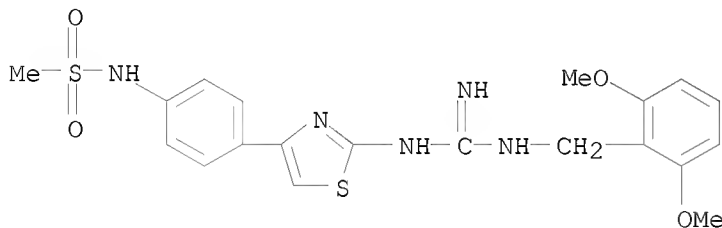
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(2-fluorophenyl)-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 863657-27-6 CAPLUS

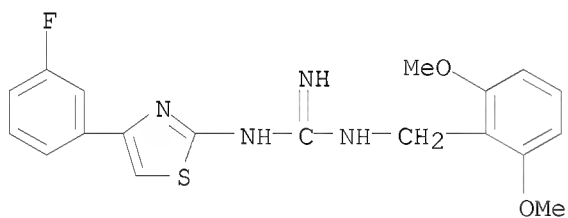
CN Methanesulfonamide, N-[4-[2-[[[(2,6-dimethoxyphenyl)methyl]amino]iminomethyl]amino]-4-thiazolyl]phenyl]-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

RN 863657-30-1 CAPLUS

CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(3-fluorophenyl)-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)

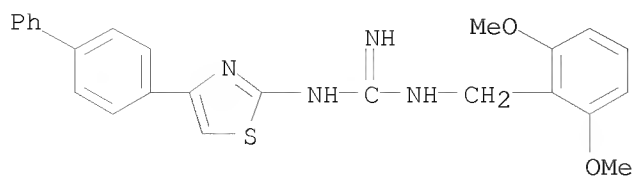


● HBr

RN 863657-31-2 CAPLUS

CN Guanidine, N-(4-[1,1'-biphenyl]-4-yl-2-thiazolyl)-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)

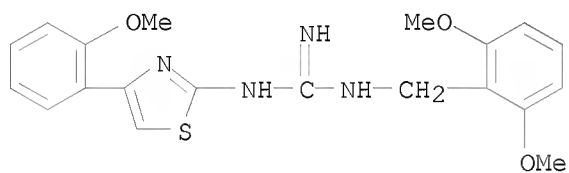




● HBr

RN 863657-32-3 CAPLUS

CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-(2-methoxyphenyl)-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

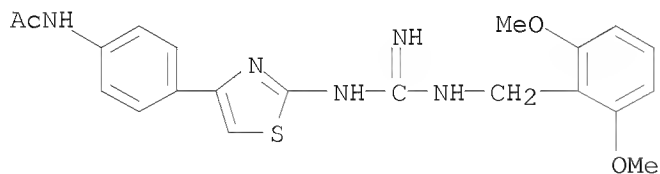
RN 863657-37-8 CAPLUS

CN Acetamide, N-[4-[2-[[[(2,6-dimethoxyphenyl)methyl]amino]iminomethyl]amino]-4-thiazolyl]phenyl]-, acetate (1:1) (CA INDEX NAME)

CM 1

CRN 863657-36-7

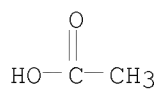
CMF C21 H23 N5 O3 S



CM 2

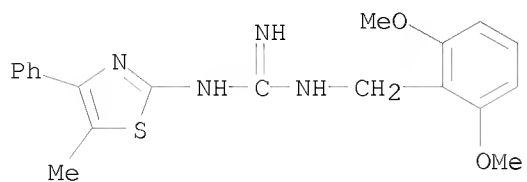
CRN 64-19-7

CMF C2 H4 O2



RN 863657-39-0 CAPLUS

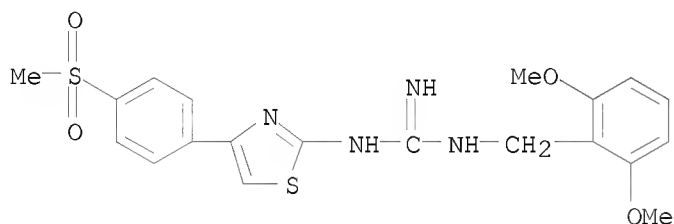
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-(5-methyl-4-phenyl-2-thiazolyl)-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

RN 863657-40-3 CAPLUS

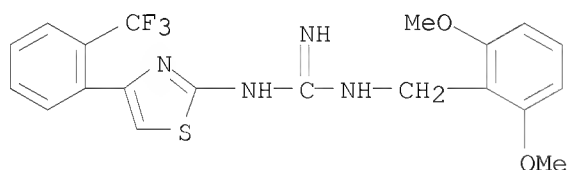
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-[4-(methylsulfonyl)phenyl]-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 863657-42-5 CAPLUS

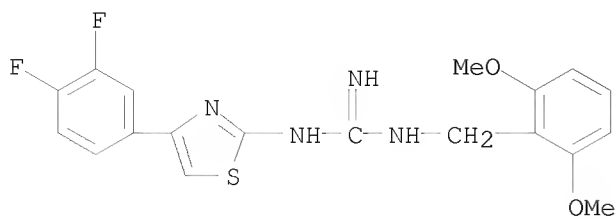
CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-[2-(trifluoromethyl)phenyl]-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

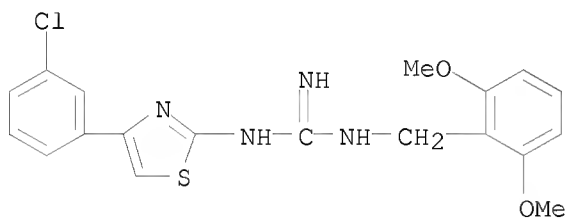
RN 863657-45-8 CAPLUS

CN Guanidine, N-[4-(3,4-difluorophenyl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)



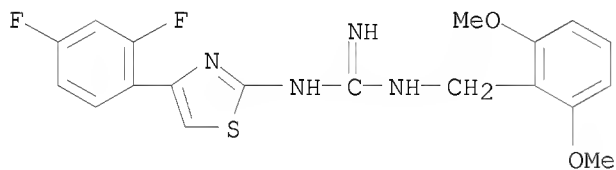
● HBr

RN 863657-47-0 CAPLUS  
 CN Guanidine, N-[4-(3-chlorophenyl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)



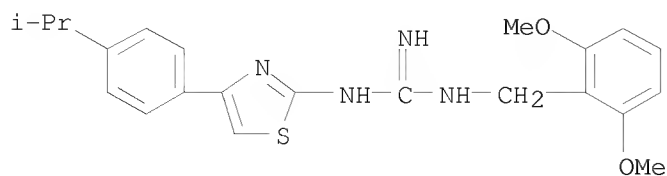
● HBr

RN 863657-49-2 CAPLUS  
 CN Guanidine, N-[4-(2,4-difluorophenyl)-2-thiazolyl]-N'-[(2,6-dimethoxyphenyl)methyl]-, hydrobromide (1:1) (CA INDEX NAME)



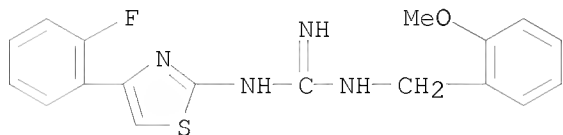
● HBr

RN 863657-55-0 CAPLUS  
 CN Guanidine, N-[(2,6-dimethoxyphenyl)methyl]-N'-[4-[4-(1-methylethyl)phenyl]-2-thiazolyl]- (CA INDEX NAME)



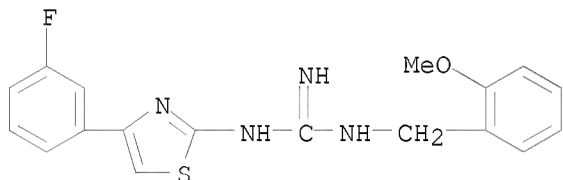
RN 863657-58-3 CAPLUS

CN Guanidine, N-[4-(2-fluorophenyl)-2-thiazolyl]-N'-[(2-methoxyphenyl)methyl]-  
(CA INDEX NAME)



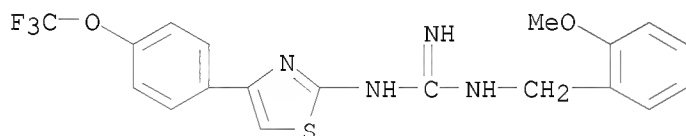
RN 863657-59-4 CAPLUS

CN Guanidine, N-[4-(3-fluorophenyl)-2-thiazolyl]-N'-[(2-methoxyphenyl)methyl]-  
(CA INDEX NAME)



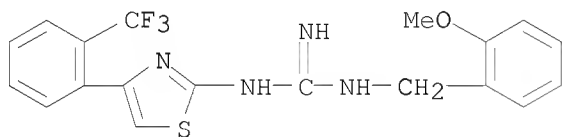
RN 863657-60-7 CAPLUS

CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-[4-[4-(trifluoromethoxy)phenyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



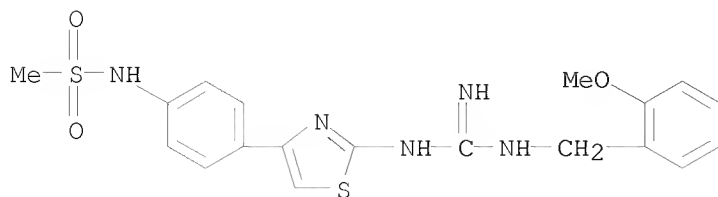
RN 863657-63-0 CAPLUS

CN Guanidine, N-[(2-methoxyphenyl)methyl]-N'-[4-[2-(trifluoromethyl)phenyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 863657-64-1 CAPLUS

CN Methanesulfonamide, N-[4-[2-[[imino[(2-methoxyphenyl)methyl]amino]methyl]amino]-4-thiazolyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)

L6 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2000:523449 CAPLUS

DOCUMENT NUMBER: 133:281719

TITLE: Anti-Helicobacter pylori Agents. 4. 2-(Substituted guanidino)-4-phenylthiazoles and Some Structurally Rigid Derivatives

AUTHOR(S): Katsura, Yousuke; Tomishi, Tetsuo; Inoue, Yoshikazu; Sakane, Kazuo; Matsumoto, Yoshimi; Morinaga, Chizu; Ishikawa, Hirohumi; Takasugi, Hisashi

CORPORATE SOURCE: Medicinal Chemistry Research Laboratories and Medicinal Biology Research Laboratories, Fujisawa Pharmaceutical Company Ltd., Osaka, 532-8514, Japan

SOURCE: Journal of Medicinal Chemistry (2000), 43(17), 3315-3321

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 133:281719

## ABSTRACT:

In order to find a new class of anti-Helicobacter pylori (H. pylori) agents, a series of 4-[(3-acetamido)phenyl]-2-(substituted guanidino)thiazoles and some structurally rigid analogs were synthesized and evaluated for antimicrobial activity against H. pylori. Among the compds. obtained, high anti-H. pylori activities were observed in N-[[3-[2-[[imino[(phenylmethyl)amino]methyl]amino]-4-thiazolyl]phenyl]methyl]acetamide (MIC = 0.025 µg/mL) and N-[[3-[2-[[imino[(2-phenylethyl)amino]methyl]amino]-4-thiazolyl]phenyl]methyl]acetamide (MIC = 0.037 µg/mL) and N-[[3-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]phenyl]methyl]acetamide (MIC = 0.017 µg/mL). Though alkyl derivs. generally showed lower activity, N-[[3-[2-[[imino[(2-methoxyethyl)amino]methyl]amino]-4-thiazolyl]phenyl]methyl]acetamide preserved significant activity (MIC = 0.32 µg/mL) and also exhibited more potent gastric antisecretory activity than ranitidine. Structural restriction by bridging between the thiazole and the Ph rings with an alkyl chain did not improve the activity in this series.

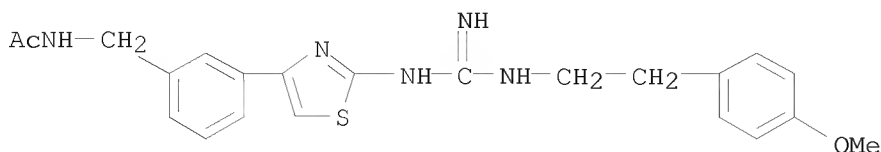
IT **149917-20-4P**, N-[[3-[2-[[Imino[[2-(4-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]phenyl]methyl]acetamide **178105-05-0P**,  
N-[[3-[2-[[Imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]phenyl]methyl]acetamide

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of (guanidino)phenylthiazoles and structurally rigid derivs. for inhibition of Helicobacter pylori)

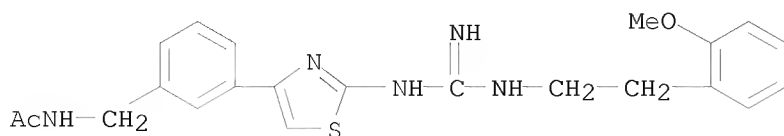
RN 149917-20-4 CAPLUS

CN Acetamide, N-[[3-[2-[[imino[[2-(4-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]phenyl]methyl]- (CA INDEX NAME)



RN 178105-05-0 CAPLUS

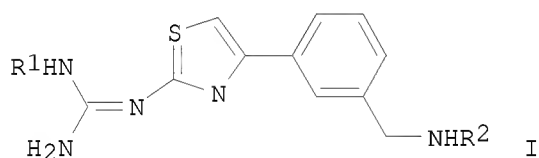
CN Acetamide, N-[[3-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]phenyl]methyl]- (CA INDEX NAME)



L6 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1996:385930 CAPLUS  
 DOCUMENT NUMBER: 125:58498  
 ORIGINAL REFERENCE NO.: 125:11249a,11252a  
 TITLE: Preparation of  
 4-(3-aminomethylphenyl)-2-thiazolylguanidines as  
 H2-receptor antagonists  
 INVENTOR(S): Katsura, Yousuke; Tomishi, Tetsuo; Nishino, Shigetaka;  
 Ohno, Mitsuko  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 34 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9605187	A1	19960222	WO 1995-JP1596	19950809
W: AU, BR, CA, CN, FI, HU, JP, KR, MX, NO, NZ, RU, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9531929	A	19960307	AU 1995-31929	19950809
JP 2000504305	T	20000411	JP 1995-507193	19950809
PRIORITY APPLN. INFO.:			GB 1994-16459	A 19940815
			WO 1995-JP1596	W 19950809
OTHER SOURCE(S):		MARPAT 125:58498		
GRAPHIC IMAGE:				



## ABSTRACT:

Title compds. [I; R1 = alkoxy(alkyl), cyanoalkyl, phenyl(oxy)(alkyl), etc.; R2 = H, alkanoyl, CONH2] were prepared Thus, I [R1 = 2-(1-cyclohexenyl)ethyl, R2 = Ac] gave 100% inhibition of histamine-induced increase of guinea pig atrial strip contraction at 10-6g/mL in vitro.

IT 178105-05-0P 178105-21-0P 178105-22-1P

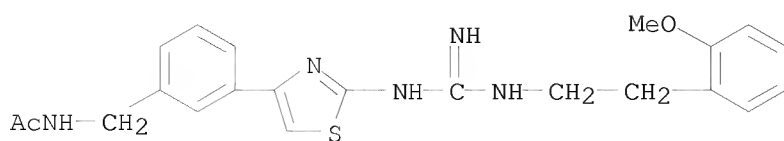
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-(3-aminomethylphenyl)-2-thiazolylguanidines as H2-receptor antagonists)

RN 178105-05-0 CAPLUS

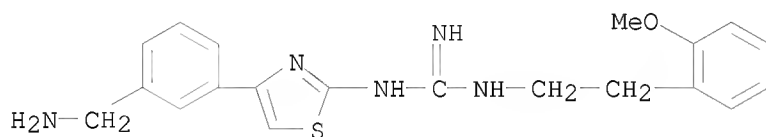
CN Acetamide, N-[[3-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]phenyl]methyl]- (CA INDEX NAME)





RN 178105-21-0 CAPLUS

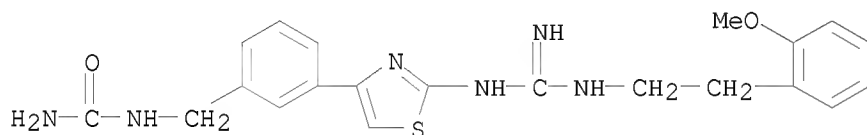
CN Guanidine, N-[4-[3-(aminomethyl)phenyl]-2-thiazolyl]-N'-[2-(2-methoxyphenyl)ethyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 178105-22-1 CAPLUS

CN Urea, N-[[3-[2-[[imino[[2-(2-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]phenyl]methyl]- (CA INDEX NAME)



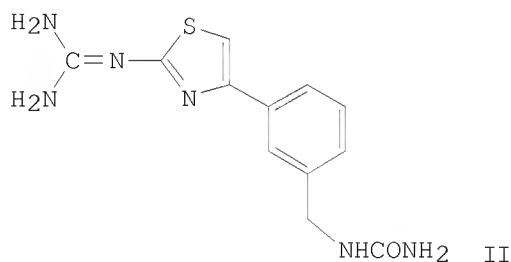
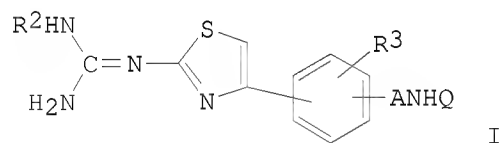
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1993:603405 CAPLUS  
 DOCUMENT NUMBER: 119:203405  
 ORIGINAL REFERENCE NO.: 119:36281a,36284a  
 TITLE: Preparation of guanidinothiazoles and their use as histamine H2-receptor antagonists  
 INVENTOR(S): Katsura, Yousuke; Tomishi, Tetsuo; Inoue, Yoshikazu; Takasugi, Hisashi  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 49 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 545376	A1	19930609	EP 1992-120533	19921202
EP 545376	B1	19980909		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
ZA 9208876	A	19930715	ZA 1992-8876	19921117
AU 9229837	A	19930610	AU 1992-29837	19921202
AU 666893	B2	19960229		
JP 06321921	A	19941122	JP 1992-323052	19921202
JP 2531329	B2	19960904		
AT 170851	T	19980915	AT 1992-120533	19921202
CA 2084640	A1	19930607	CA 1992-2084640	19921204
HU 65776	A2	19940728	HU 1992-3849	19921204
CN 1079469	A	19931215	CN 1992-114939	19921205
US 5532258	A	19960702	US 1994-356967	19941216
PRIORITY APPLN. INFO.:			GB 1991-25970	A 19911206
			US 1992-978477	B1 19921118
OTHER SOURCE(S):	MARPAT 119:203405			
GRAPHIC IMAGE:				



## ABSTRACT:

Title compds. [I; R2 = H, (substituted) alkyl; R3 = H, alkyl, alkoxy, halo; A = alkylene; Q = COR1, (substituted) carbamimidoyl; R1 = organic group], were prepared  
 Thus, 4-(3-aminomethylphenyl)-2-(diaminomethyleneamino)thiazole dihydrochloride

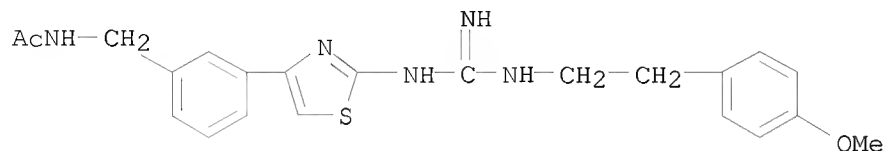
(preparation given) was stirred with potassium isocyanate in H<sub>2</sub>O at room temperature for 8.5 h to give title compound II. II at 1 mg/kg i.v. in rats inhibited 99% gastric acid secretion.

IT **149917-20-4P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as histamine H<sub>2</sub> receptor antagonist)

RN 149917-20-4 CAPLUS

CN Acetamide, N-[[3-[2-[[imino[[2-(4-methoxyphenyl)ethyl]amino]methyl]amino]-4-thiazolyl]phenyl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)

=> fil marpat

FILE 'MARPAT' ENTERED AT 20:35:29 ON 17 SEP 2011

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FILE CONTENT: 1961-PRESENT VOL 155 ISS 11 (20110909/ED)

MARPAT RECORDS FOR 1961-1987 ARE DERIVED FROM INPI DATA

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US	20110190474	04	AUG	2011
DE	102010040925	28	JUL	2011
EP	2348120	27	JUL	2011
JP	2011151378	04	AUG	2011
WO	2011097087	11	AUG	2011
GB	2475359	18	MAY	2011
FR	2955493	29	JUL	2011
RU	2425038	27	JUL	2011
CA	2727890	13	JUL	2011

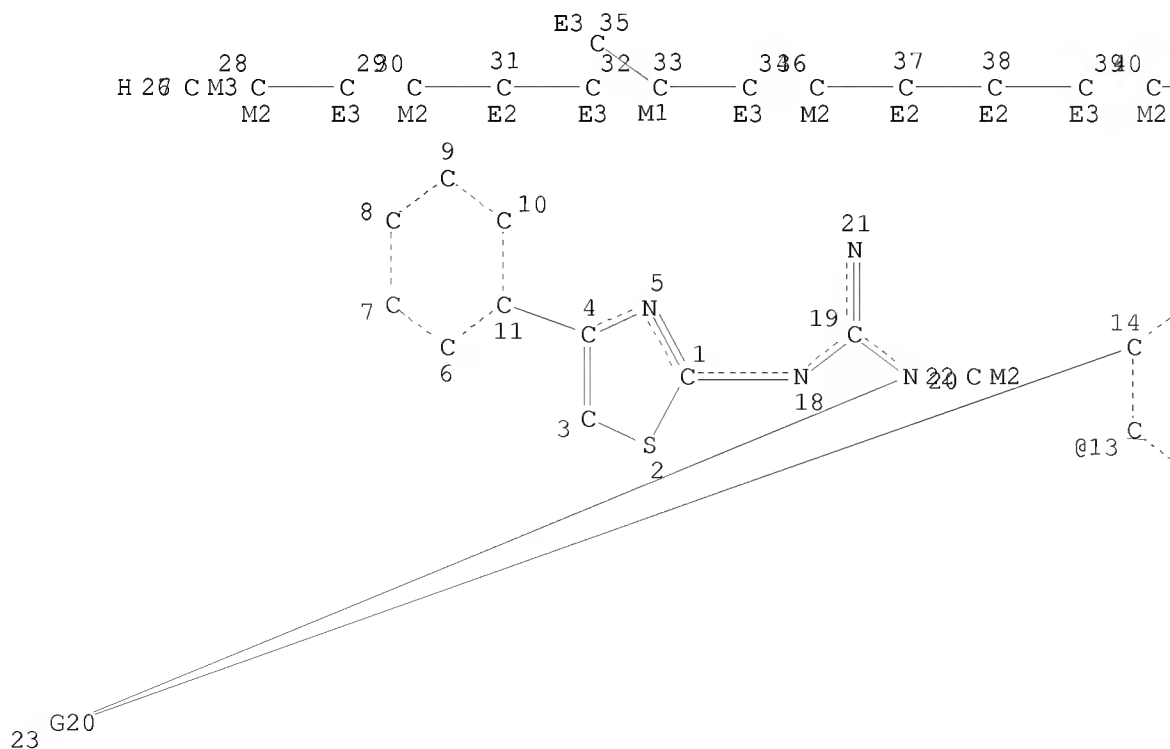
The new MARPAT User Guide is now available at:

<http://www.cas.org/support/stngen/stndoc/marpat.html>.

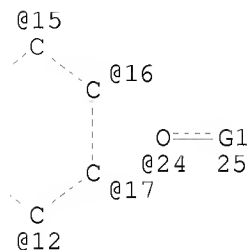
Assembled MARPAT displays are now available by default for QHIT and FQHIT formats. Two new display formats, QHITEXG and FQHITEXG, have also been implemented. See NEWS 25 for more information on these and other time-saving enhancements.

'FIONAMARPAT' IS DEFAULT FORMAT FOR 'MARPAT' FILE

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L1 STR



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GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  55

STEREO ATTRIBUTES: NONE
L8          7 SEA FILE=MARPAT SSS FUL L1

100.0% PROCESSED      2516 ITERATIONS              7 ANSWERS
SEARCH TIME: 00.00.01
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=> d 1-7 ibib iabs fqhit

L8 ANSWER 1 OF 7 MARPAT COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 143:266930 MARPAT  
 TITLE: Guanidine compounds and their use as ligands for 5HT receptors  
 INVENTOR(S): Netz, Astrid; Amberg, Wilhelm; Lange, Udo; Ochse, Michael; Kling, Andreas; Hutchins, Charles W.; Garcia-Ladona, Francisco-Xavier; Wernet, Wolfgang  
 PATENT ASSIGNEE(S): Abbott GmbH & Co. Kg, Germany  
 SOURCE: Ger. Offen., 52 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102004008141	A1	20050901	DE 2004-10200400814120040219	
WO 2005082871	A2	20050909	WO 2005-EP1521	20050215
WO 2005082871	A3	20051110		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1716127	A2	20061102	EP 2005-707406	20050215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS				
JP 2007523113	T	20070816	JP 2006-553516	20050215
JP 4658073	B2	20110323		
MX 2006009434	A	20070321	MX 2006-9434	20060818
US 20070299074	A1	20071227	US 2007-590265	20070614
PRIORITY APPLN. INFO.:			DE 2004-10200400814120040219	
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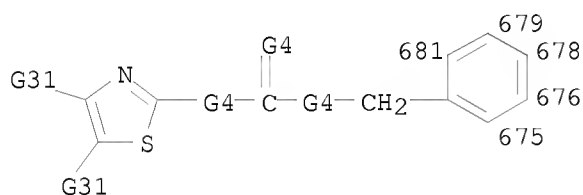
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

## ABSTRACT:

The present invention concerns guanidine compds., e.g., I [R1, R2, R3 = H, OH, CN, (un)substituted C1-6-alkyl, C1-4-alkoxy, C2-6-alkenyl, C3-12-alkynyl, CO-(C1-6-alkyl), CO2-(C1-6-alkyl), etc.; R4, R5 = H, halogen, CN, CF3, CHF2, C1-10-alkyl, Ph, naphthyl, heteroaryl, etc.; R4R5 = (un)substituted 4- to 7-membered ring, optionally containing addnl. O, S, N; Q = Q1, Q2, Q3, Q4, Q5, Q6; W = W1, W2; Z = (CRz1Rz2)a(V)b(CRz3Rz4)c; A, D = NO2, NH2, OH, CN, CF3, OCF3, CHF2, OCHF2, CO2H, OCH2CO2H, halogen, SH, etc.; B = H, A; R' = H, OH, halogen, NO2, NH2, CN, CF3, CHF2, OCF3, OCHF2, (un)substituted C1-6-alkyl, C3-7-cycloalkyl, (C1-6-alkene)-O-(C1-6-alkyl), C2-6-alkenyl, C3-12-alkynyl, CO-(C1-6-alkyl), CO2-(C1-6-alkyl), SO2-(C1-6-alkyl), etc.; a = 0 - 4; b = 0, 1; c = 0 - 4; Rz1, Rz2, Rz3, Rz4 = H, halogen, OH, etc.; E = O, NRq1, S; V = CO,

CONR, NRCO, O, S, SO, SO<sub>2</sub>, SO<sub>2</sub>NR, NRSO<sub>2</sub>, CS, CSNR, NRCS, etc.; Rq1 = H, C1-4-alkyl, CO-(C1-4-alkyl), SO<sub>2</sub>-(C1-4-alkyl), CO<sub>2</sub>-(C1-4-alkyl), etc.] , their enantiomers, diastereomers and/or tautomeric forms as well as pharmaceutical acceptable salts thereof. Thus, N-(2-methoxybenxyl)-N'-(11,3-thiazol-2-ly)guanidine (II) was prepared from 2-aminothiazole via reaction with thiocarbonyldiimidazole in MeCN, ammonolysis with NH<sub>4</sub>OAc in EtOH, N-methylation in MeOH and amidation with 2-MeOC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>NH<sub>2</sub> in EtOH. Further the present compound concerns the use of guanidine compds. as ligands for 5HT receptors for the treatment of diseases, which are modulated by a 5HT receptor activity, in particular for the treatment of neurodegenerative and neuropsychiatric disturbances as well as the signs, symptoms and malfunctions which are connected with it. The pharmacol. activity off II was determined [K<sub>i</sub> = 50 nM].

### MSTR 1 Assembled



675, 676, 678, 679, 681: opt. substd. by OH

G4 = NH

G31 = Ph

Patent location:

claim 1

Note: and pharmaceutically acceptable salts and tautomers

Note: substitution is restricted

Note: additional substitution also claimed

Stereochemistry: and enantiomers and diastereomers

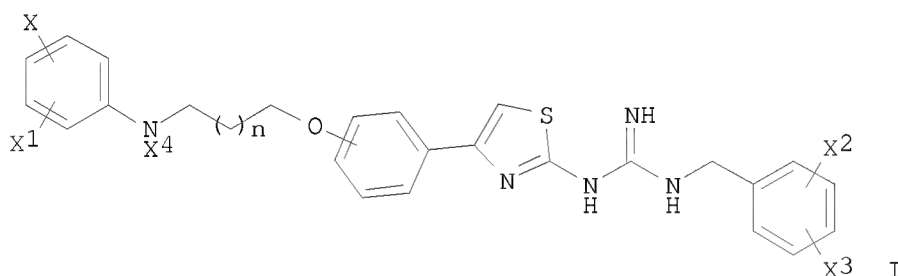


L8 ANSWER 2 OF 7 MARPAT COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 130:237560 MARPAT  
 TITLE: Preparation of thiazolylguanidines as protease inhibitors.  
 INVENTOR(S): Christensen, Siegfried Benjamin, IV; Desjarlais, Renee Louise; Forster, Cornelia Jutta  
 PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA  
 SOURCE: PCT Int. Appl., 44 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9911637	A1	19990311	WO 1998-US18289	19980903
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2302361	A1	19990311	CA 1998-2302361	19980903
AU 9893002	A	19990322	AU 1998-93002	19980903
ZA 9808064	A	19990528	ZA 1998-8064	19980903
EP 1015438	A1	20000705	EP 1998-945850	19980903
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 2001514257	T	20010911	JP 2000-508676	19980903
PRIORITY APPLN. INFO.:			US 1997-57527P	19970904
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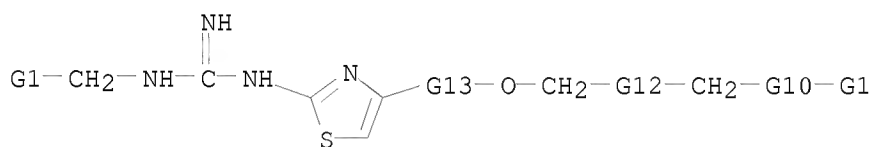


# ABSTRACT:

Title compds. [I; X, X1, X2, X3 = H, alkyl, fluoroalkyl, C3-7 cycloalkyl, cyano, COR1, CO2R1, CONR1R2, C(NR1)NR1R2, C(NCN)NR1R2, C(NCN)SR3, NO2, NR1SO2R3, NR1COR1, NR1R2, NR1(C:NR1)NR1R2, NR1C(O)NR1R2, NR1COR1, NR1COOR3, NR1C(NCN)SR3, NR1C(NCN)NR1R2, NR1COCONR1R2, NR1COCOR2, Cl, Br, iodo, F, OR1, O(CH2)qOR3, OCH2CH2OH, OC(O)R1, O(CH2)qCONR1R2, O(CH2)qCOR1, SR1, SO2NR1R2, S(O)mR3; m, n = 0-2; q = 1, 2; R1 = H, alkyl, CF3, CH2CF3; NR1R2 = 5-7 membered (heterocyclic) ring; R2 = H, alkyl, CF3, CH2CF3; R3 = alkyl, CF3, CH2CF3; X4 = H, alkyl, C3-7 cycloalkyl, COAr, alkoxycarbonyl, CO2Ar; Ar undefined], were prepared as inhibitors of proteases including cathepsin K for treatment of excessive bone loss, cartilage or matrix degradation including osteoporosis,

gingivitis, periodontitis, arthritis, Paget's disease, hypercalcemia of malignancy, and metabolic bone disease (no data). Thus, 3-(4-chlorobutoxy)acetophenone (preparation given) in CH<sub>2</sub>Cl<sub>2</sub> was treated with Br<sub>2</sub> in CH<sub>2</sub>Cl<sub>2</sub> over 5 min. followed by 15 min. stirring to give a residue which in EtOH was treated with iminothiobiuret followed by 24 h reflux to give 80% N-[4-[3-(4-chlorobutoxy)phenyl]thiazol-2-yl]guanidine. This was N-BOC protected and N-benzylated to give N-benzyl-N-tert-butoxycarbonyl-N'-[4-[3-(4-chlorobutoxy)phenyl]thiazol-2-yl]guanidine, which was heated 4 days with N-methylaniline and NaI in DMF at 135° to give 36% N-benzyl-N'-[4-[3-[4-(N-methyl-N-phenyl)aminobutoxy]phenyl]thiazol-2-yl]guanidine.

### **MSTR 1 Assembled**



G1 = Ph (opt. substd. by (up to 2) OH)

G12 = (0-2) CH<sub>2</sub>

G13 = phenylene

Derivative: and pharmaceutically acceptable salts, hydrates, and solvates

Patent location: claim 1

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 7 MARPAT COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 125:58498 MARPAT

TITLE: Preparation of  
4-(3-aminomethylphenyl)-2-thiazolylguanidines as  
H2-receptor antagonistsINVENTOR(S): Katsura, Yousuke; Tomishi, Tetsuo; Nishino, Shigetaka;  
Ohno, Mitsuko

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 34 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

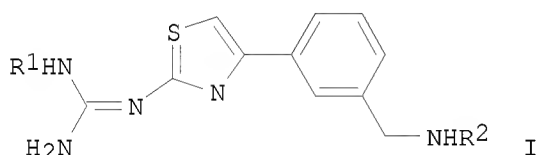
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

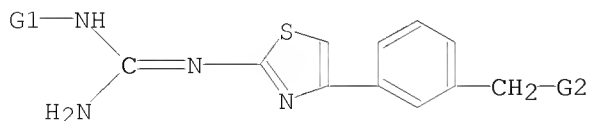
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9605187	A1	19960222	WO 1995-JP1596	19950809
W: AU, BR, CA, CN, FI, HU, JP, KR, MX, NO, NZ, RU, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9531929	A	19960307	AU 1995-31929	19950809
JP 2000504305	T	20000411	JP 1995-507193	19950809
PRIORITY APPLN. INFO.:			GB 1994-16459	19940815
			WO 1995-JP1596	19950809

GRAPHIC IMAGE:



## ABSTRACT:

Title compds. [I; R1 = alkoxy(alkyl), cyanoalkyl, phenyl(oxy)(alkyl), etc.; R2 = H, alkanoyl, CONH2] were prepared Thus, I [R1 = 2-(1-cyclohexenyl)ethyl, R2 = Ac] gave 100% inhibition of histamine-induced increase of guinea pig atrial strip contraction at 10-6g/mL in vitro.

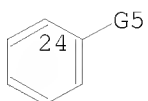
**MSTR 1**

G1 = 20

G3—G4  
20

G3 = alkylene &lt;containing 1-6 C&gt;

G4 = 24



G5 = alkoxy <containing 1-6 C>

Derivative: and pharmaceutically acceptable salts

Patent location: claim 1

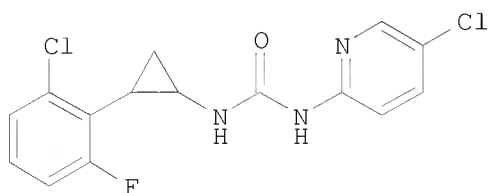
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 7 MARPAT COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 123:198634 MARPAT  
 TITLE: Preparation of N-[aryl(cyclo)alkyl]-N'-pyridylureas and analogs as HIV reverse transcriptase inhibitors  
 INVENTOR(S): Lind, Peter Thomas; Noreen, Rolf; Morin, John Michael; Ternansky, Robert John  
 PATENT ASSIGNEE(S): Medivir AB, Swed.  
 SOURCE: PCT Int. Appl., 104 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9506034	A1	19950302	WO 1994-US9406	19940824
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2168447	A1	19950302	CA 1994-2168447	19940824
CA 2168447	C	20060711		
AU 9477153	A	19950321	AU 1994-77153	19940824
AU 687440	B2	19980226		
EP 706514	A1	19960417	EP 1994-927932	19940824
EP 706514	B1	19981118		
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JP 09502702	T	19970318	JP 1995-507689	19940824
JP 3869462	B2	20070117		
AT 173466	T	19981215	AT 1994-927932	19940824
ES 2123156	T3	19990101	ES 1994-927932	19940824
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US 5849769	A	19981215	US 1996-601030	19960503
US 6376492	B1	20020423	US 2000-567857	20000509
US 20020132794	A1	20020919	US 2002-76163	20020213
US 20040116418	A1	20040617	US 2003-725657	20031201
PRIORITY APPLN. INFO.:			US 1993-110956	19930824
			WO 1994-US9406	19940824
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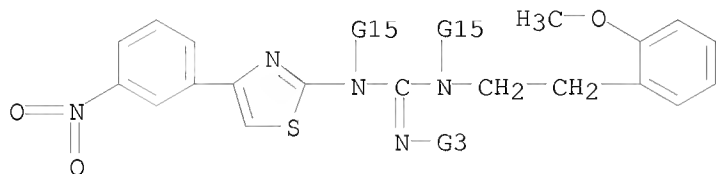
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ABSTRACT:

R2R4NZNR1R3 [R1 = (heterocyclic) organic ring residue; R2 = CR7R9CR5R6R8; R3,R4 =

H, OH, alk(en)yl, CONH<sub>2</sub>, etc.; R<sub>5</sub> = groups cited for R<sub>1</sub>, NH<sub>2</sub>, OH, alkoxy, etc.; R<sub>6</sub>-R<sub>9</sub> = H, (cyclo)alkyl, halo, NH<sub>2</sub>, CO<sub>2</sub>H, etc.; Z = CO, C(:NH), C(:CH<sub>2</sub>), SO<sub>2</sub>, etc.] were prepared Thus, cis-2-(2-chloro-6-fluorophenyl)cyclopropylisocyanate (preparation from 2-chloro-6-fluorobenzaldehyde given) was condensed with 2-amino-5-chloropyridine to give title compound cis-I which had IC<sub>50</sub> of 0.0004μg/mL against HIV reverse transcriptase in vitro.

**MSTR 1 Assembled**



Patent location:

claim 1

Note:

additional ring formation is allowed

REFERENCE COUNT:

2

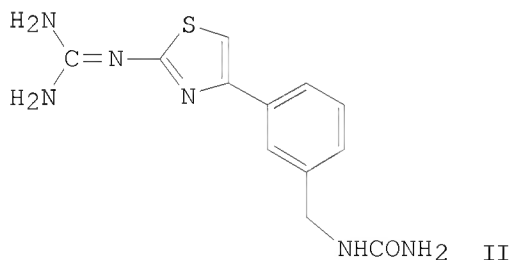
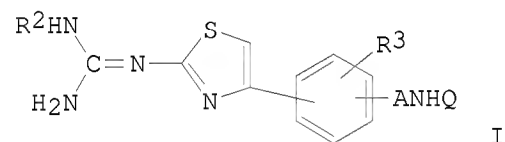
THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 7 MARPAT COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 119:203405 MARPAT  
 TITLE: Preparation of guanidinothiazoles and their use as histamine H<sub>2</sub>-receptor antagonists  
 INVENTOR(S): Katsura, Yousuke; Tomishi, Tetsuo; Inoue, Yoshikazu; Takasugi, Hisashi  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 49 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

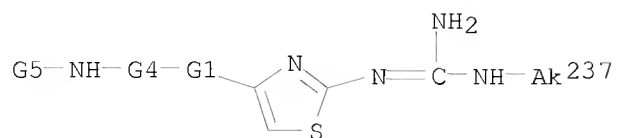
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 545376	A1	19930609	EP 1992-120533	19921202
EP 545376	B1	19980909		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
ZA 9208876	A	19930715	ZA 1992-8876	19921117
AU 9229837	A	19930610	AU 1992-29837	19921202
AU 666893	B2	19960229		
JP 06321921	A	19941122	JP 1992-323052	19921202
JP 2531329	B2	19960904		
AT 170851	T	19980915	AT 1992-120533	19921202
CA 2084640	A1	19930607	CA 1992-2084640	19921204
HU 65776	A2	19940728	HU 1992-3849	19921204
CN 1079469	A	19931215	CN 1992-114939	19921205
US 5532258	A	19960702	US 1994-356967	19941216
PRIORITY APPLN. INFO.:			GB 1991-25970	19911206
			US 1992-978477	19921118

GRAPHIC IMAGE:



## ABSTRACT:

Title compds. [I; R<sub>2</sub> = H, (substituted) alkyl; R<sub>3</sub> = H, alkyl, alkoxy, halo; A = alkylene; Q = COR<sub>1</sub>, (substituted) carbamimidoyl; R<sub>1</sub> = organic group], were prepared. Thus, 4-(3-aminomethylphenyl)-2-(diaminomethyleamino)thiazole dihydrochloride (preparation given) was stirred with potassium isocyanate in H<sub>2</sub>O at room temperature for 8.5 h to give title compound II. II at 1 mg/kg i.v. in rats inhibited 99% gastric acid secretion.

**MSTR 1 Assembled**

237: alkyl (opt. substd. by 1 or more G11)

G1 = phenylene (opt. substd. by (1) G2)

G11 = Ph (substd. by loweralkoxy)

Conditional variable data: IF G5 = 18 THEN G1 = phenylene (substd. by (1) loweralkoxy)

Derivative: and pharmaceutically acceptable salts

Patent location: claim 1

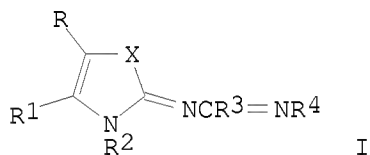


L8 ANSWER 6 OF 7 MARPAT COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 94:156917 MARPAT  
 TITLE: Oxazoline and thiazoline derivatives and pharmaceutical compositions containing them  
 INVENTOR(S): Cantello, Barrie Christian Charles  
 PATENT ASSIGNEE(S): Beecham Group Ltd., UK  
 SOURCE: Eur. Pat. Appl., 32 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

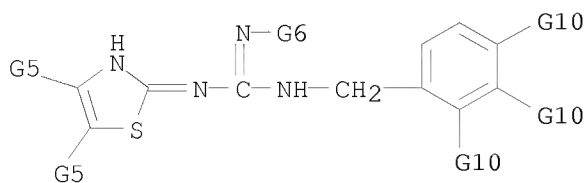
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 18107	A1	19801029	EP 1980-300949	19800327
EP 18107	B1	19821222		
R: AT, BE, CH, DE, FR, GB, IT, NL, SE				
AT 2075	T	19830115	AT 1980-300949	19800327
ZA 8001970	A	19810429	ZA 1980-1970	19800402
US 4409216	A	19831011	US 1980-139284	19800411
DK 8001675	A	19801021	DK 1980-1675	19800418
FI 8001253	A	19801021	FI 1980-1253	19800418
NO 8001131	A	19801021	NO 1980-1131	19800418
AU 8057588	A	19801023	AU 1980-57588	19800418
JP 55141474	A	19801105	JP 1980-51558	19800418
PRIORITY APPLN. INFO.:			GB 1979-13864	19790420
			EP 1980-300949	19800327

GRAPHIC IMAGE:



## ABSTRACT:

Guanidines I [X = O, S; R, R1 = H, halo, alkyl, Ph, CH2Ph, cycloalkyl, alkoxy carbonyl, carboxy; R2 = H, alkyl, Ph, CH2Ph; R3 = amino; R4 = alkyl, (un)substituted Ph, CH2Ph] were prepared. Thus, treating 2-imino-3-methyl-4-thiazoline-HI with PhNCS gave a thiourea which was S-methylated and then treated with pyrrolidine to give I (X = S, R = R1 = H, R2 = Me, R3 = pyrrolidino, R4 = Ph) which at 0.5 mmole/kg orally in mice decreased the blood glucose concentration from 5.95 to 3.24 mmole/L in 60 min.

**MSTR 2 Assembled**

G5 = Ph

G10 = alkoxy <containing 1-6 C>

Patent location: claims

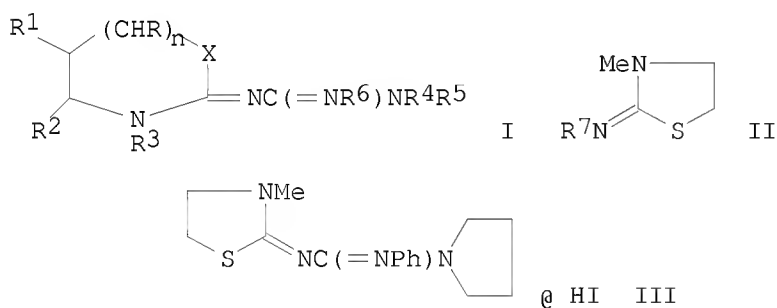
Note: record may include structures from disclosure

L8 ANSWER 7 OF 7 MARPAT COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 94:103347 MARPAT  
 TITLE: Heterocyclic carboxamidine compounds, pharmaceutical compositions containing them, and intermediates  
 INVENTOR(S): Cantello, Barrie Christian Charles  
 PATENT ASSIGNEE(S): Beecham Group Ltd., UK  
 SOURCE: Eur. Pat. Appl., 36 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 11963	A1	19800611	EP 1979-302564	19791114
EP 11963	B1	19820714		
R: AT, BE, CH, DE, FR, GB, IT, NL, SE				
AU 7952637	A	19800529	AU 1979-52637	19791108
ZA 7906075	A	19801029	ZA 1979-6075	19791112
US 4250173	A	19810210	US 1979-94100	19791114
AT 1339	T	19820715	AT 1979-302564	19791114
DK 7904859	A	19800530	DK 1979-4859	19791115
JP 55073671	A	19800603	JP 1979-154941	19791129
NO 8000697	A	19801117	NO 1980-697	19800311
US 4282356	A	19810804	US 1980-158212	19800610
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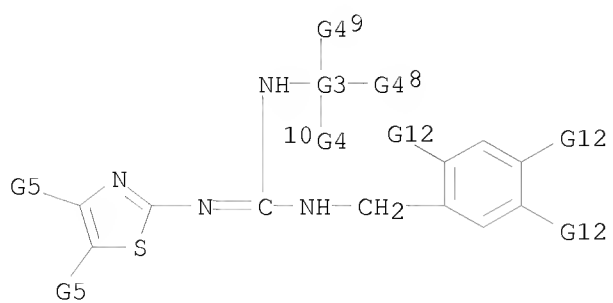
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## ABSTRACT:

Carboxamidines I (X = O, S; R, R4 = H, alkyl; R1, R2 = H, alkyl, Ph, CH2Ph, cycloalkyl; R3 = H, alkyl, Ph, CH2Ph; R5 = alkyl, optionally substituted Ph; NR4R5 = heterocyclyl; R6 = optionally substituted Ph; n = 0,1) were prepared Thus II.HI (R7 = H) was treated with PhNCS to give II (R7 = CSNHPh) which was S-methylated and treated with pyrrolidine to give III. At 0.25 mmol/kg orally in mice III decreased the blood glucose concentration from 5.47 to 3.25 mmol/L.

**MSTR 1 Assembled**



G5 = Ph

G12 = alkoxy <containing 1-6 C>

Patent location: claims

Note: record may include structures from disclosure

=> fil capl

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FILE COVERS 1907 - 17 Sep 2011 VOL 155 ISS 13  
FILE LAST UPDATED: 16 Sep 2011 (20110916/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2011  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2011

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D SCAN  
L3 61 SEA SSS FUL L1  
D QUE L3 STAT  
L4 41 SEA ABB=ON PLU=ON L3 AND CAPLUS/LC  
L5 20 SEA ABB=ON PLU=ON L3 NOT L4  
D 1-20 IDE

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FILE 'MARPAT' ENTERED AT 20:35:29 ON 17 SEP 2011

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## FILE HOME

## FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 16 SEP 2011 HIGHEST RN 1332690-84-2

DICTIONARY FILE UPDATES: 16 SEP 2011 HIGHEST RN 1332690-84-2

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FILE COVERS 1907 - 17 Sep 2011 VOL 155 ISS 13

FILE LAST UPDATED: 16 Sep 2011 (20110916/ED)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2011

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2011

CAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2011.

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## FILE MARPAT

FILE CONTENT: 1961-PRESENT VOL 155 ISS 11 (20110909/ED)

MARPAT RECORDS FOR 1961-1987 ARE DERIVED FROM INPI DATA

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES

(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 20110190474 04 AUG 2011  
 DE 102010040925 28 JUL 2011  
 EP 2348120 27 JUL 2011  
 JP 2011151378 04 AUG 2011  
 WO 2011097087 11 AUG 2011  
 GB 2475359 18 MAY 2011  
 FR 2955493 29 JUL 2011  
 RU 2425038 27 JUL 2011  
 CA 2727890 13 JUL 2011

The new MARPAT User Guide is now available at:

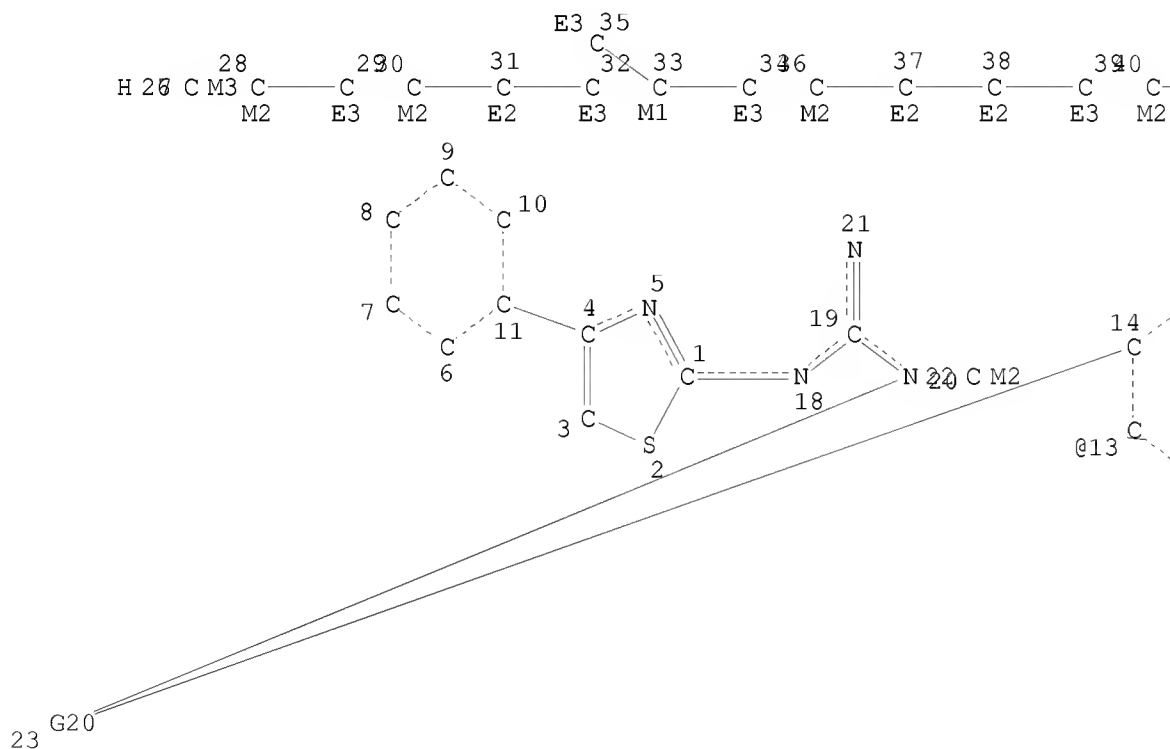
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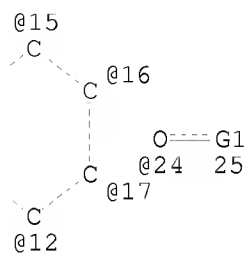
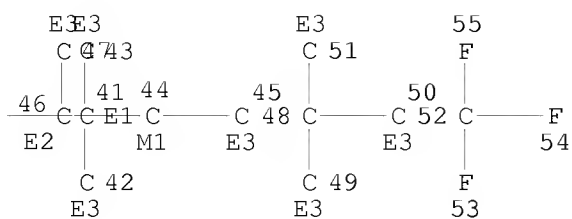
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NUMBER OF NODES IS 55

STEREO ATTRIBUTES: NONE

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FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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